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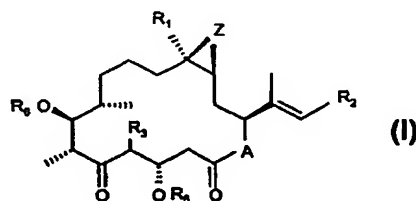
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ance Notes on Codes and Abbreviations" appearing at the begin-
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(54) Title: EPOTHILONE DERIVATIVES



(57) Abstract: The present invention relates to C4-demethyl-epothilones or C4-bisnor-epothilones of Formula (I), their pharmaceu-
tical use, pharmaceutical composition containing the same and methods for their preparation.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/14747

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D493/04 C07D417/06 A61K31/427 A61P35/00 C07C59/90
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According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07C A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, PAJ, BEILSTEIN Data, CHEM ABS Data, BIOSIS, EMBASE, WPI Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X, Y	WO 99/65913 A (BIOTECHNOLOG FORSCHUNG GMBH ; GERTH KLAUS (DE); SASSE FLORENZ (DE);) 23 December 1999 (1999-12-23) see compounds 5,6,16-19	1-13
X, Y	HARDT I H ET AL: "New Natural Epothilones from Sorangium cellulosum, Strains So ce90/B2 and So ce90/D13: Isolation, Structure Elucidation, and SAR Studies" JOURNAL OF NATURAL PRODUCTS, XX, XX, vol. 64, no. 7, July 2001 (2001-07), pages 847-856, XP002220541 ISSN: 0163-3864 See compounds 5,6,16-19	1-13

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Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
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- *P* document published prior to the international filing date but later than the priority date claimed

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- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

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INTERNATIONAL SEARCH REPORT

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 98/25929 A (CIBA GEIGY AG ; SARABIA FRANCISCO (ES); VALLBERG HANS (SE); NICOLAO) 18 June 1998 (1998-06-18) pages 2-3; figure 13	1-13
Y	NICOLAOU K C ET AL: "Chemical Biology of Epothilones" ANGEWANDTE CHEMIE. INTERNATIONAL EDITION, VERLAG CHEMIE. WEINHEIM, DE, vol. 37, no. 15, August 1998 (1998-08), pages 2014-2045, XP002131418 ISSN: 0570-0833 page 2026	1-13
A	PATENT ABSTRACTS OF JAPAN vol. 015, no. 193 (C-0832), 17 May 1991 (1991-05-17) & JP 03 048641 A (MITSUBISHI KASEI CORP), 1 March 1991 (1991-03-01) abstract	1-13
A	SU D S ET AL: "Structure-activity relationships of the epothilones and the first in vivo comparison with paclitaxel" ANGEWANDTE CHEMIE. INTERNATIONAL EDITION, VERLAG CHEMIE. WEINHEIM, DE, vol. 36, no. 19, 17 October 1997 (1997-10-17), pages 2093-2096, XP002137123 ISSN: 0570-0833 cited in the application page 2094, left-hand column	1-13
P,X	WO 03/022844 A (SLOAN KETTERING INST CANCER ; LEE CHULBOM (US); RIVKIN ALEXEY (US);) 20 March 2003 (2003-03-20) page 45	1-10

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/14747

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

1-13

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-13

C4-demethyl-epothilone derivatives, their preparation and intermediates (III and IV) specific for the preparation of C4-demethyl-epothilone derivatives

2. claim: 14

Preparation of intermediate of formula II

3. claims: 15,16

Isolation of C4-desmethyl-epothilone B involving chromatography on Chiralpak-AD column

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/14747

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